

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

PCT Application
PCT/JP2003/004986



Applicant's or agent's file reference A31246M	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP03/04986	International filing date (day/month/year) 18 April 2003 (18.04.03)	Priority date (day/month/year) 18 April 2002 (18.04.02)
International Patent Classification (IPC) or national classification and IPC A61K 31/18, 31/22, 31/255, 31/341, 31/36, 31/407, 31/4184, 45/00, 31/166, 31/167, A61P 35/00, 43/00, C07D 235/14, 307/52, 317/58		
Applicant INSTITUTE OF MEDICINAL MOLECULAR DESIGN. INC.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.	
2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.	
<input type="checkbox"/>	This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
These annexes consist of a total of _____ sheets.	
3. This report contains indications relating to the following items:	
I <input checked="" type="checkbox"/>	Basis of the report
II <input type="checkbox"/>	Priority
III <input type="checkbox"/>	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
IV <input type="checkbox"/>	Lack of unity of invention
V <input checked="" type="checkbox"/>	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
VI <input type="checkbox"/>	Certain documents cited
VII <input type="checkbox"/>	Certain defects in the international application
VIII <input type="checkbox"/>	Certain observations on the international application

Date of submission of the demand 18 April 2003 (18.04.03)	Date of completion of this report 12 September 2003 (12.09.2003)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP03/04986

I. Basis of the report

1. With regard to the elements of the international application:*

- ☒ the international application as originally filed
- ☐ the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the claims:
pages _____, as originally filed
pages _____, as amended (together with any statement under Article 19
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the drawings:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____
- ☐ the sequence listing part of the description:
pages _____, as originally filed
pages _____, filed with the demand
pages _____, filed with the letter of _____

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language _____ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/fig _____

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).**

* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rule 70.16 and 70.17).

** Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 03/04986

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

Novelty (N)	Claims	1-9, 11, 13	YES
	Claims	10, 12	NO
Inventive step (IS)	Claims	1-9, 11, 13	YES
	Claims	10, 12	NO
Industrial applicability (IA)	Claims	1-13	YES
	Claims		NO

2. Citations and explanations

- Document 1: JP 2001-504492 A (Saulius BUTENAS), 03 April 2001
- Document 2: US 5399487 A (Haematologic Technologies, Inc.), 21 May 1995
- Document 3: US 5707985 A (Tanabe Seiyaku Co., Ltd.), 13 January 1998
- Document 4: Jour. Indian Chem. Soc., 1969, Vol. 46, No. 5, pages 411-415
- Document 5: GB 827446 A (Badische Anilin- & Soda-Fabrik AG), 03 February 1960
- Document 6: JP 3-217459 A (Mitsui Toatsu Chemicals, Inc.), 25 September 1991
- Document 7: Analytical Chemistry, 1994, Vol. 66, No. 8, pages 1347-1353
- Document 8: JP 48-30733 A (Bayer AG), 23 April 1973
- Document 9: JP 5-503721 A (Sphinx Pharmaceuticals Corp.), 17 June 1993
- Document 10: JP 6-504052 A (The Biomembrane Institute), 12 May 1994

[1] The inventions set forth in claims 10 and 12 lack novelty in the light of documents 1-8 cited in the international search report.

Document 1 discloses naphthalene sulfonamide

derivatives that are useful as protein C inhibitors, and the compound (33) indicated on page 25 thereof falls within the scopes of the compounds that are set forth in claim 10 of the present application and of the medicinal drugs that comprise said compound as an active ingredient, which are set forth in claim 12 of the present application. Document 2 discloses naphthalene sulfonamide derivatives that are useful as anticoagulants, and tables 2 and 3 therein indicate compounds that correspond to the inventions that are set forth in claims 10 and 12 of the present application. Document 3 discloses naphthalene sulfonamide derivatives as compounds that are useful in relation to immunological diseases and inflammatory diseases, and compounds (15) and (35) indicated therein are the same as the inventions that are set forth in claims 10 and 12 of the present application. Document 4 (tables 1 and 2) indicate naphthalene sulfonamide derivatives that are useful as antibacterial agents, and said derivatives are the same as the inventions that are set forth in claims 10 and 12 of the present application. In addition, document 5 (claim 3), document 6 (example 4 in table 4), document 7 (compounds (49) and (50)) and document 8 (example 9) all indicate compounds that fall within the scope of the compounds that are set forth in claim 10 of the present application.

[2] The inventions set forth in claims 1-9, 11 and 13 are novel and involve an inventive step.

Documents 9 and 10 disclose compounds that exhibit a protein kinase inhibiting activity, which are useful as anti-tumor agents; however, they do not disclose or suggest compounds with a naphthalene skeleton that correspond to the active ingredients for the medicinal drugs that are set forth in the present application.